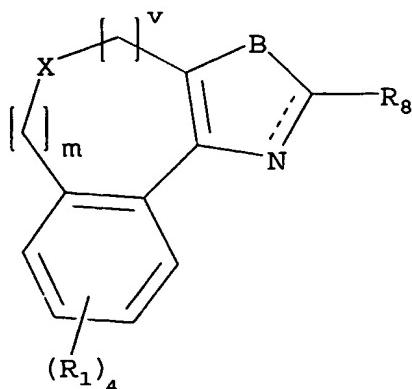


What is claimed is:

1. A compound having the structure:



5

wherein each R_1 is independently H, F, Cl, Br, -CN,
-OH, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, perfluoroalkyl,
polyfluoroalkyl, aminoalkyl, or straight chained or
10 branched C₁-C₇ alkyl;

10

wherein R_5 is independently H; or straight chained or
branched C₁-C₇ alkyl;

15

wherein R_6 is independently H; or straight chained or
branched C₁-C₇ alkyl;

wherein B is O, NH or S;

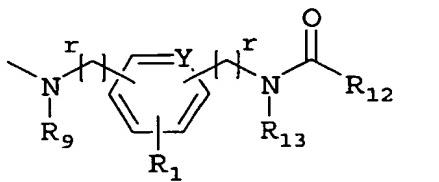
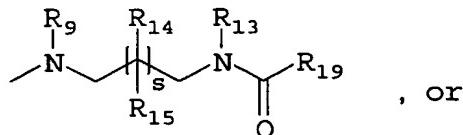
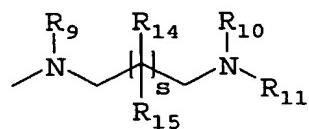
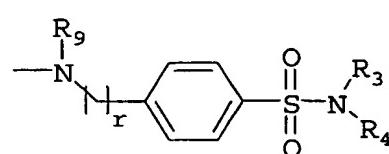
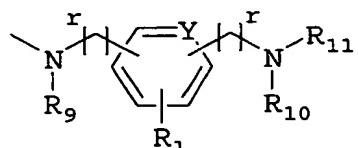
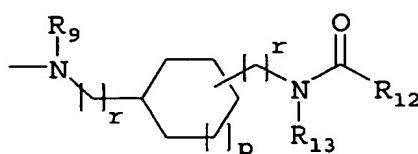
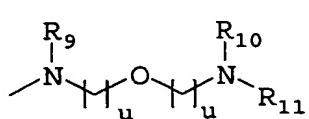
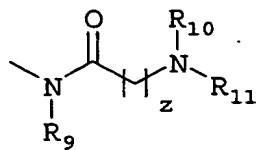
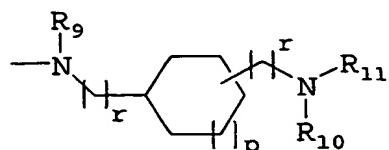
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wherein X is CHR₅, O or NR₅;

wherein each n independently is an integer from 0 to
6 inclusive;

25

wherein R₈ is



5

wherein Y is C or N;

wherein R₇ is independently straight chained or branched C₁-C₇ alkyl;

10

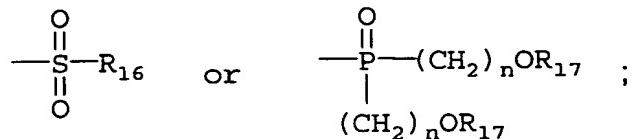
wherein R₉ is independently H; or straight chained or branched C₁-C₄ alkyl;

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wherein R_{10} is independently H; or straight chained or branched C_1-C_4 alkyl;

wherein R_{11} is

5



10

wherein R_{12} is H, straight chained or branched C_1-C_7 alkyl, $(\text{CH}_2)_n\text{OR}_{17}$, or $\text{O}(\text{CH}_2)_u\text{OR}_{17}$; provided that when X is O, R_{12} cannot be methyl;

15

20

25

wherein R_{13} is independently H; $-(\text{CH}_2)_u\text{OR}_5$; $-(\text{CH}_2)_t\text{CONR}_5\text{R}_6$; $-(\text{CH}_2)_u\text{NR}_5\text{COR}_5$; $-(\text{CH}_2)_t\text{COR}_7$; $-(\text{CH}_2)_t\text{CO}_2\text{R}_5$; $-(\text{CH}_2)_u\text{NR}_5\text{R}_6$; $-(\text{CH}_2)_u\text{CN}$; straight chained or branched C_1-C_7 alkyl; C_1-C_7 alkyl in which the C_2-C_7 atoms may be optionally substituted with one or more F or Cl; C_3-C_7 cycloalkyl- C_1-C_7 alkyl; straight chained or branched C_2-C_7 alkenyl or alkynyl; or C_3-C_7 cycloalkyl; phenyl or C_1-C_6 phenylalkyl; wherein the phenyl or C_1-C_6 phenylalkyl may be substituted with one or more of F, Cl, -CN, $-\text{NO}_2$, $-\text{NR}_5\text{R}_6$, $-\text{SO}_2\text{R}_5$, $-(\text{CH}_2)_n\text{COR}_7$, $-(\text{CH}_2)_n\text{OR}_5$, $-(\text{CH}_2)_n\text{CONR}_5\text{R}_6$, $-(\text{CH}_2)_n\text{NR}_5\text{COR}_5$, $-(\text{CH}_2)_n\text{CO}_2\text{R}_5$, $-(\text{CH}_2)_n\text{SO}_2\text{NR}_5\text{R}_6$, straight chained or branched C_1-C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

30

or R_{12} and R_{13} together with the amide linkage to which they are attached are pyrrolidinonyl, piperidonyl, or oxazolidinonyl; provided that when X is O, R_{12} and R_{13} cannot be oxazolidinonyl;

260

wherein R_{14} is H; straight chained or branched C_1-C_4 alkyl; F; or $-(CH_2)_rOR_5$;

5 wherein R_{15} is H, straight chained or branched C_1-C_4 alkyl, or F;

with the proviso that when R_{14} is -OH, R_{15} cannot be F;

10 wherein R_{16} is NR_3R_4 , perfluoroalkyl, unsubstituted straight chained or branched C_1-C_7 alkyl, substituted straight chained or branched C_2-C_7 alkyl, wherein the C_2-C_7 alkyl may be substituted with one or more of F, Cl, -CN, $-NR_5R_6$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $(CH_2)_nCO_2R_5$, $-(CH_2)_nOCF_3$, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2-C_7 alkenyl or alkynyl, or C_3-C_7 cycloalkyl or cycloalkenyl; C_3-C_7 cycloalkyl or cycloalkenyl; phenyl, heteroaryl, or C_1-C_7 phenylalkyl, wherein the phenyl, heteroaryl, or C_1-C_7 phenylalkyl may be substituted with one or more of F, Cl, Br, -CN, $-NO_2$, $-NR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nCO_2R_5$, $(CH_2)_nSO_2NR_5R_6$, ethylenedioxy, methylenedioxy, straight chained or branched C_1-C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2-C_7 alkenyl or alkynyl, or C_3-C_7 cycloalkyl or cycloalkenyl; quinolinyl, 1-naphthyl, 2-naphthyl, or 2,1,3-benzothiadiazolyl; wherein the quinolinyl, 1-naphthyl, 2-naphthyl or 2,1,3-benzothiadiazolyl may be substituted with one or more of F, Cl, Br, -CN, $-NO_2$, $-NR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nCO_2R_5$, $(CH_2)_nSO_2NR_5R_6$, ethylenedioxy, methylenedioxy, straight

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chained or branched C₁-C₇ alkyl, perfluoroalkyl,
polyfluoroalkyl, or aminoalkyl;

5 with the proviso that when X is O and R₈ is
NR₉(CH₂)_uO(CH₂)_uNR₁₀R₁₁, R₁₆ cannot be methyl;

10 wherein R₃ is independently H; -(CH₂)_uOR₅; -
(CH₂)_tCONR₅R₆; -(CH₂)_uNR₅COR₅; -(CH₂)_tCOR₇; -
(CH₂)_tCO₂R₅; -(CH₂)_uNR₅R₆; -(CH₂)_uCN; straight chained or
15 branched C₁-C₇ alkyl; straight chained or branched C₂-
C₇ alkenyl or alkynyl; or C₃-C₇ cycloalkyl or
cycloalkenyl; phenyl, C₁-C₆ phenylalkyl or C₁-C₆
heteroarylalkyl; wherein the phenyl, C₁-C₆
phenylalkyl, or C₁-C₆ heteroarylalkyl may be
20 substituted with one or more of F, Cl, Br, -CN, -
NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅,
-(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, -(CH₂)_nCO₂R₅, -
(CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇
alkyl, perfluoroalkyl, polyfluoroalkyl, or
aminoalkyl, straight chained or branched C₂-C₇ alkenyl
or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

25

wherein R₄ is independently H; -(CH₂)_uOR₅; -
(CH₂)_tCONR₅R₆; -(CH₂)_uNR₅COR₅; -(CH₂)_tCOR₇; -
(CH₂)_tCO₂R₅; -(CH₂)_uNR₅R₆; -(CH₂)_uCN; straight chained or
30 branched C₁-C₇ alkyl; straight chained or branched C₂-
C₇ alkenyl or alkynyl; or C₃-C₇ cycloalkyl or
cycloalkenyl; phenyl or C₁-C₆ phenylalkyl; wherein the
phenyl or C₁-C₆ phenylalkyl may be substituted with
one or more of F, Cl, Br, -CN, -NO₂, -NR₅R₆,

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-SO₂R₅, - (CH₂)_nCOR₇, - (CH₂)_nOR₅,
(CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅,
(CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇
alkyl, perfluoroalkyl, polyfluoroalkyl, or
5 aminoalkyl, straight chained or branched C₂-C₇ alkenyl
or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

or R₃ and R₄ taken together with the nitrogen atom to
which they are attached are 1-azetidinyl, 1-
10 pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl, wherein
the 1-azetidinyl, 1-pyrrolidinyl, 1-piperidinyl, or
1H-azepanyl is substituted with one or more of
F, -CN, - (CH₂)_nNR₅R₆, -SO₂R₅, - (CH₂)_nCOR₇,
(CH₂)_nOR₅, - (CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅,
15 straight chained or branched C₁-C₇ alkyl,
perfluoroalkyl, polyfluoroalkyl, or aminoalkyl,
straight chained or branched C₂-C₇ alkenyl or alkynyl,
or C₃-C₇ cycloalkyl or cycloalkenyl, or phenyl or
heteroaryl; wherein if - (CH₂)_nNR₅R₆, - (CH₂)_nOR₅, or -
20 (CH₂)_nNR₅COR₅ are in the 2-position, then n is not 0;
wherein the phenyl or heteroaryl may be substituted
with one or more of F, Cl, Br, -CN, -NO₂, -
NR₅R₆, -SO₂R₅, - (CH₂)_nCOR₇, - (CH₂)_nOR₅,
(CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅,
25 (CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇
alkyl, perfluoroalkyl, polyfluoroalkyl, or
aminoalkyl, straight chained or branched C₂-C₇ alkenyl
or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

30 or R₃ and R₄ taken together with the nitrogen atom to
which they are attached are morpholinyl,
thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl,
piperazinyl, or [1,4]diazepanyl, wherein the
morpholinyl, thiomorpholinyl, [1,4]oxazepanyl,

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[1,4]thiazepanyl, piperazinyl, or
[1,4]diazepanyl is optionally substituted with
straight chained or branched C₁-C₅ alkyl or (CH₂)_tOR₅;
and wherein the nitrogen atom of the piperazinyl or
[1,4]diazepanyl ring may be optionally substituted
with -(CH₂)_uOR₅; -COR₅; straight chained or branched
C₁-C₅ alkyl; or phenyl; wherein the phenyl may be
substituted with one or more of F, Cl, Br, -CN, -NO₂,
-NR₅R₆ - (CH₂)_nOR₅, straight chained or branched C₁-C₃
10 alkyl, perfluoroalkyl, polyfluoroalkyl, or
aminoalkyl;

wherein R₁₇ is H, straight chained or branched C₁-C₄
alkyl, perfluoroalkyl, or polyfluoroalkyl;

15 wherein R₁₉ is (CH₂)_nOR₅, NR₅R₆, phenyl, or heteroaryl,
wherein the phenyl or heteroaryl may be substituted
with one or more of F, Cl, Br, -CN, -NO₂, -
NR₅R₆, -(CH₂)_nNR₅COR₅, -SO₂R₅, -(CH₂)_nCOR₇, -
20 -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nCO₂R₅, -
(CH₂)_nSO₂NR₅R₆, ethylenedioxy, methylenedioxy, straight
chained or branched C₁-C₇ alkyl, perfluoroalkyl,
polyfluoroalkyl, or aminoalkyl, straight chained or
branched C₂-C₇ alkenyl or alkynyl, or C₃-C₇ cycloalkyl
25 or cycloalkenyl;

wherein m is 0 or 1;

30 wherein each p independently is an integer from 0 to
2 inclusive;

wherein each r independently is an integer from 0 to
3 inclusive;

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wherein each s independently is an integer from 1 to 6 inclusive;

wherein t is an integer from 1 to 4 inclusive;

5

wherein each u independently is an integer from 2 to 4 inclusive;

wherein v is 1 or 2;

10

with the proviso that when v is 2, m is 0;

wherein z is an integer from 2 to 7;

15

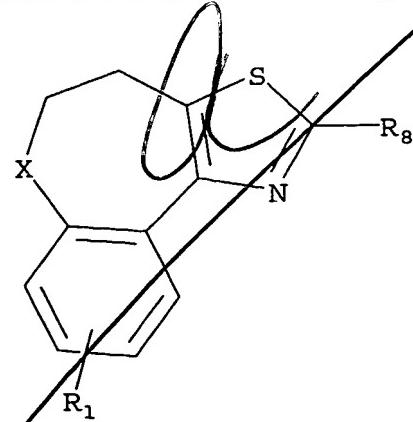
or a pharmaceutically acceptable salt thereof.

2. The compound of claim 1, wherein the compound comprises the (+) enantiomer.

20

3. The compound of claim 1, wherein the compound comprises the (-) enantiomer.

4. The compound of claim 1 having the structure:

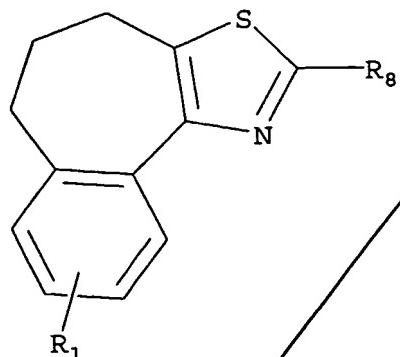


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wherein X is CR₅R₆, O or NR₅.

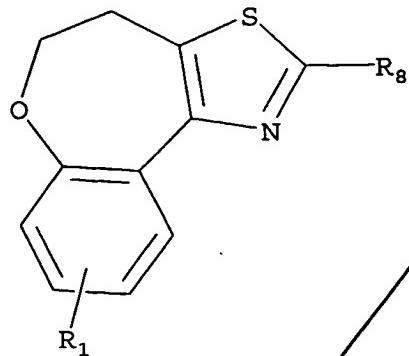
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5. The compound of claim 4 having the structure:

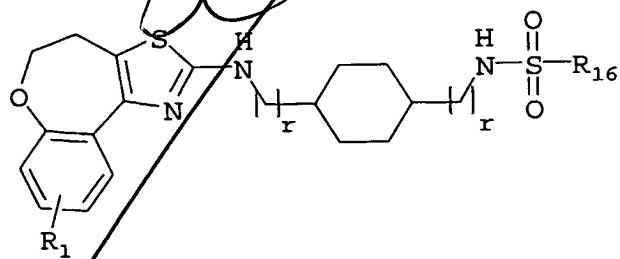


a

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6. The compound of claim 4 having the structure:



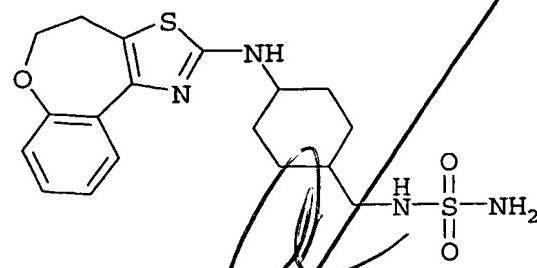
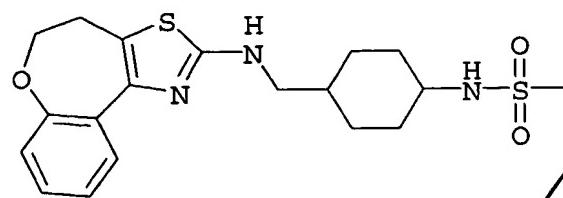
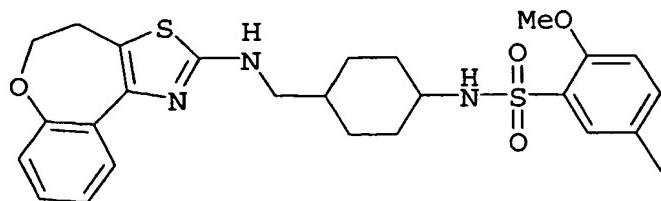
5 7. The compound of claim 6 having the structure:



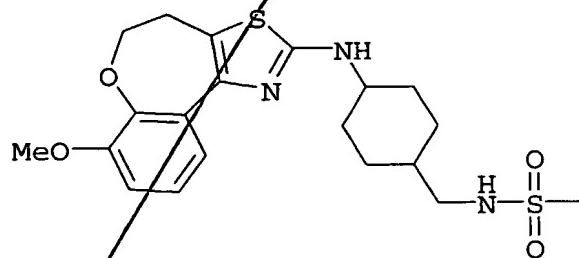
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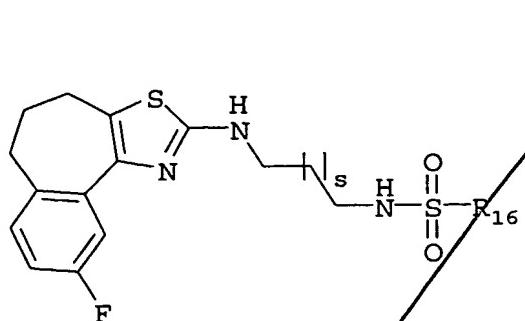
8. The compound of claim 7 wherein the compound is selected from the group consisting of:



, and



9. The compound of claim 5 having the structure:

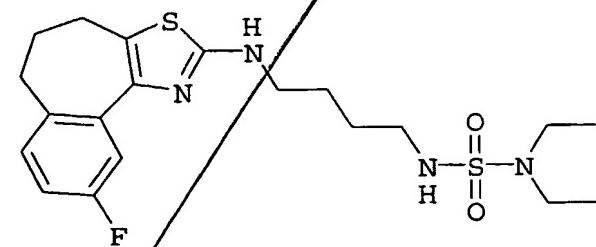
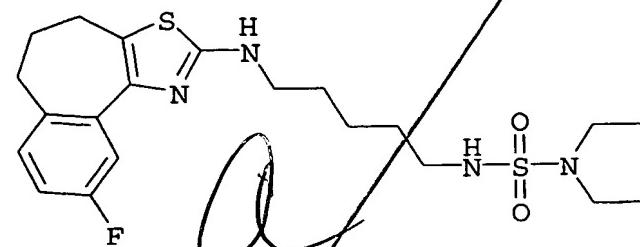
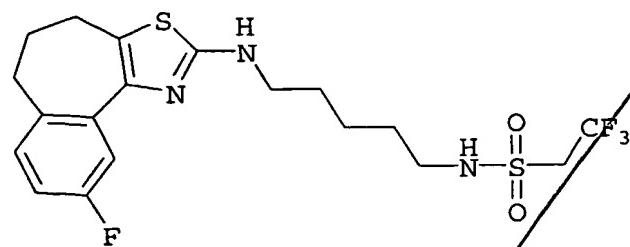
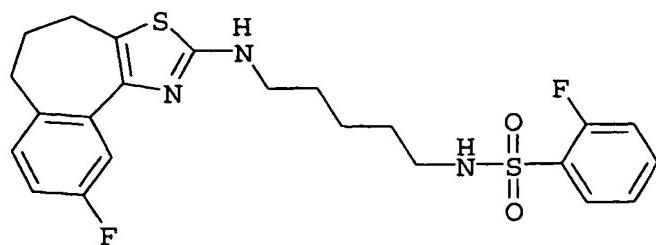


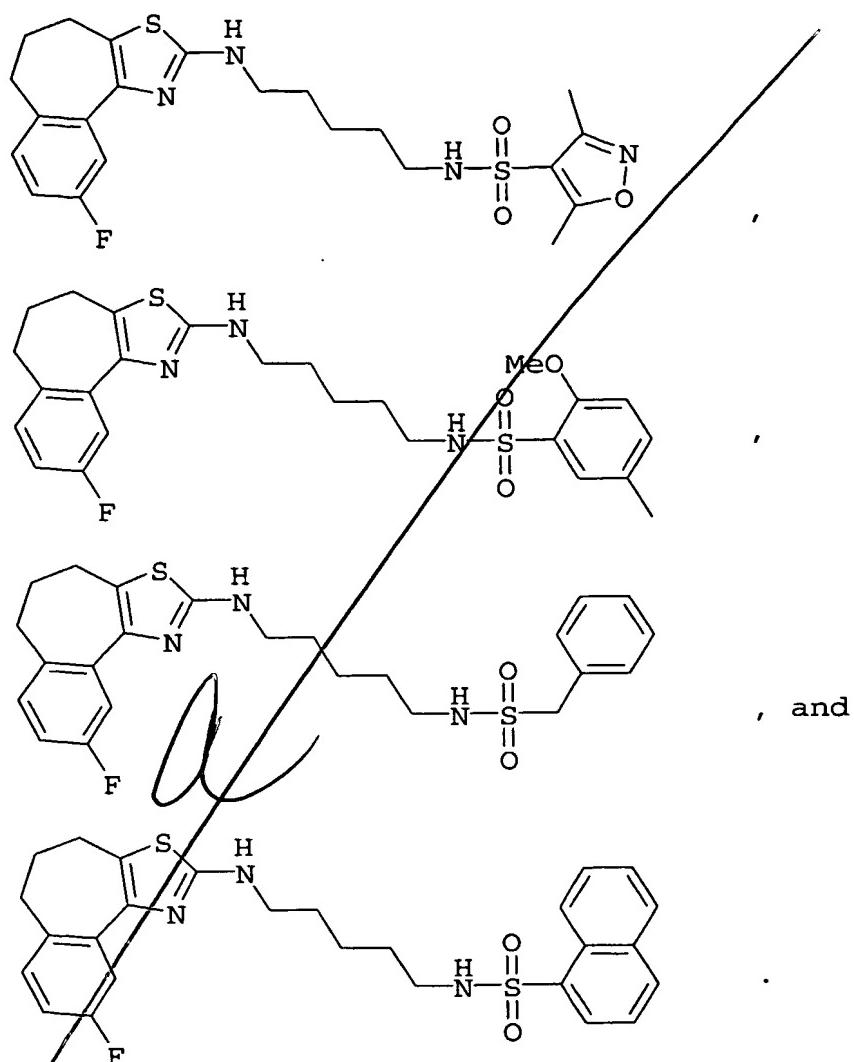
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wherein s is 2, 3 or 4.

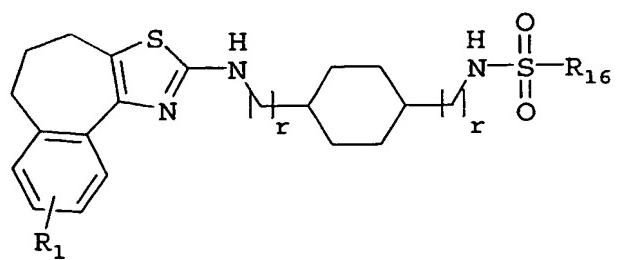
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10. The compound of claim 9 selected from the group consisting of:



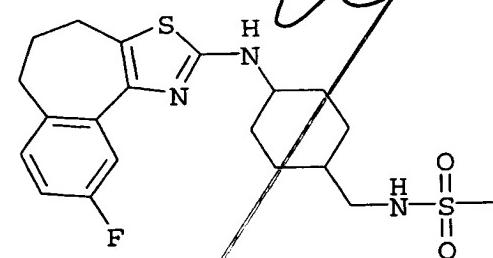
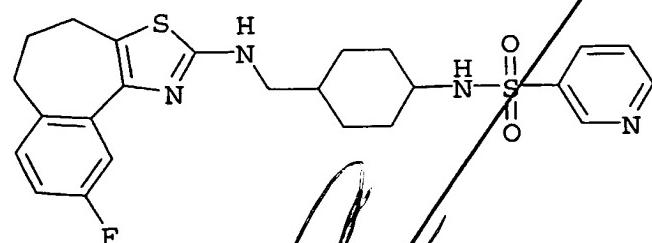
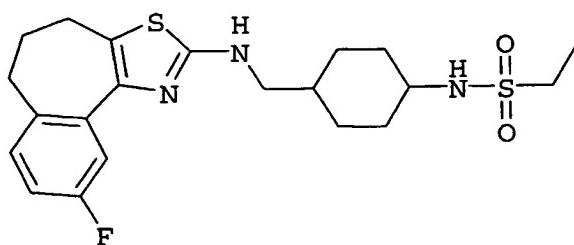


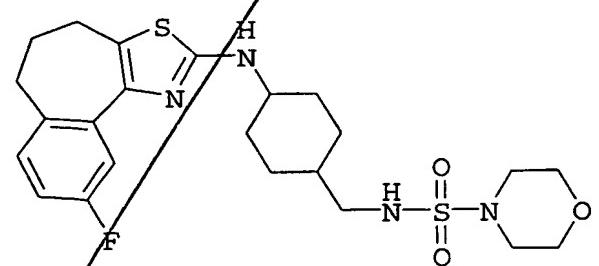
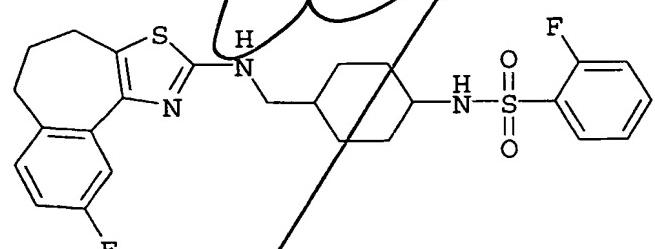
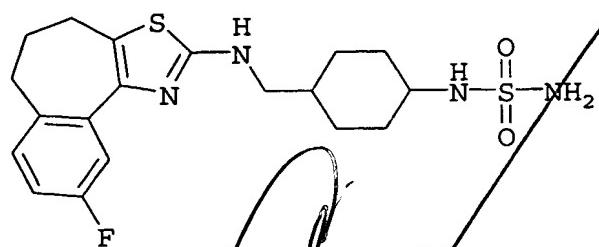
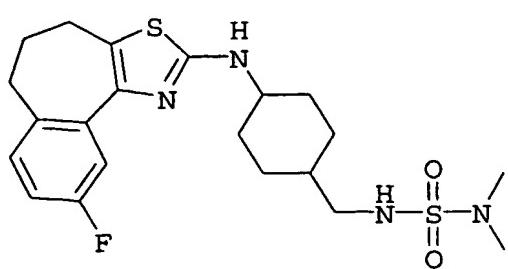
11. The compound of claim 5 having the structure:

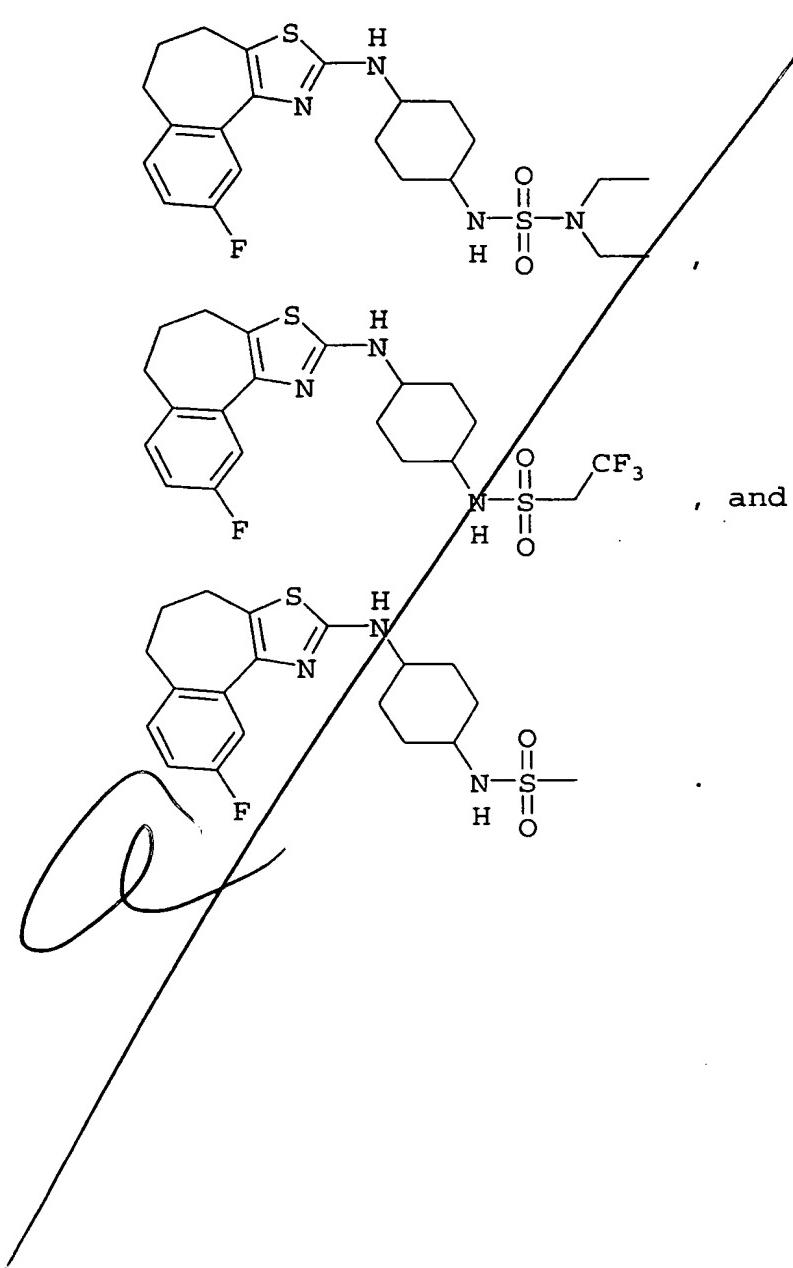


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12. The compound of claim 11 selected from the group consisting of:

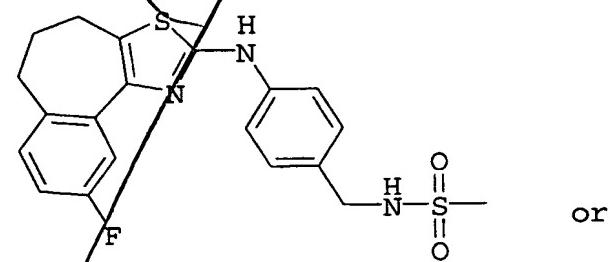
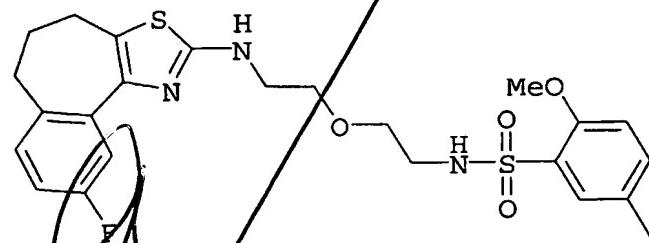
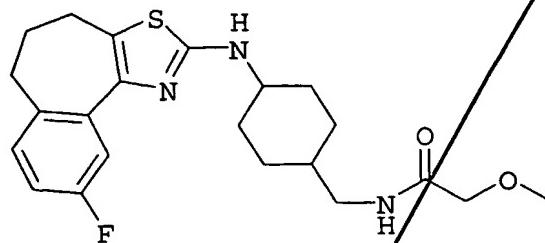
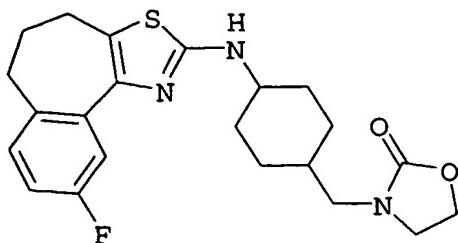




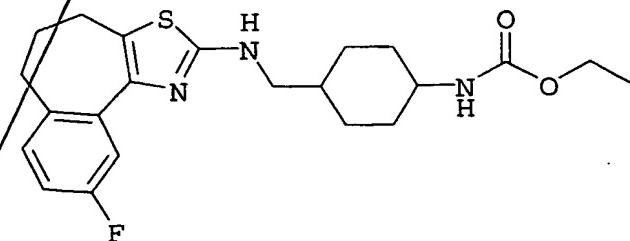


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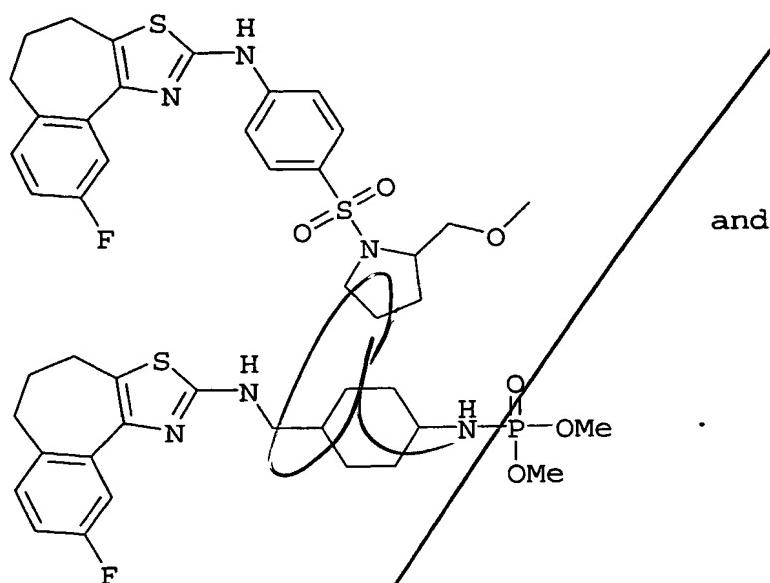
13. The compound of claim 5 having the structure:



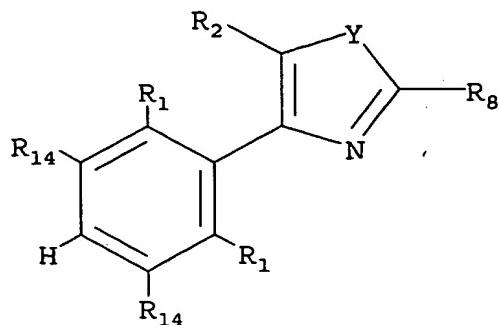
or



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14. The compound of claim 1 selected from the group
consisting of:



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15. A compound having the structure:



wherein Y is O, S or NH;

5 wherein each R₁₄ independently is H, F, Cl, Br, -CN, -OH, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, -SO₂C₆H₅, -SO₂NR₅R₆, -C₆H₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, ethylenedioxy, methylenedioxy, perfluoroalkyl, polyfluoroalkyl, aminoalkyl, or straight chained or branched C₁-C₇ alkyl; or phenyl, heteroaryl, or C₁-C₇ phenylalkyl, wherein the phenyl, heteroaryl, or C₁-C₇ phenylalkyl may be substituted with one or more of F, Cl, Br, -CF₃, -CN, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, or straight chained or branched C₁-C₄ alkyl; provided that if one R₁₄ is phenyl, heteroaryl or C₁-C₇ phenylalkyl, the other R₁₄ is H;

10 wherein each R₁ independently is H, F, Cl, Br, -CN, -OH, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, -SO₂C₆H₅, -SO₂NR₅R₆, -C₆H₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, ethylenedioxy, methylenedioxy, perfluoroalkyl, polyfluoroalkyl, aminoalkyl, or straight chained or branched C₁-C₇ alkyl; or phenyl, heteroaryl, or C₁-C₇ phenylalkyl, wherein the phenyl, heteroaryl, or C₁-C₇ phenylalkyl may be substituted with one or more of F, Cl, Br, -CF₃, -CN, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, or straight chained or branched C₁-C₄ alkyl;

wherein R₂ is H, straight chained or branched C₁-C₄ alkyl, -(CH₂)_tOR₅, phenyl optionally substituted with one or more of F, Cl, Br, -CF₃, -CN, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, or straight chained or branched C₁-C₄ alkyl;

5

wherein R₅ is independently H; or straight chained or branched C₁-C₇ alkyl;

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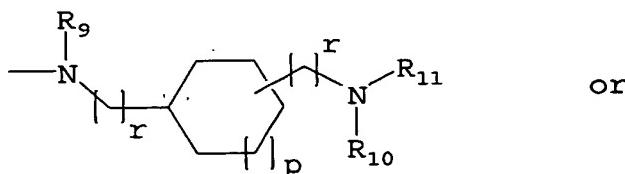
wherein R₆ is independently H; or straight chained or branched C₁-C₇ alkyl;

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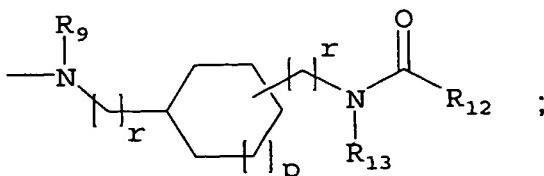
wherein each n independently is an integer from 0 to 6 inclusive;

wherein R₈ is

i)



ii)



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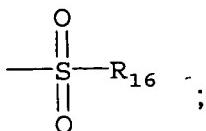
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provided that R₁ or R₁₄ cannot be -OH, when R₈ is (ii);

wherein R₉ is independently H; or straight chained or branched C₁-C₄ alkyl;

5 wherein R₁₀ is independently H; or straight chained or branched C₁-C₄ alkyl;

wherein R₁₁ is



10

wherein R₁₂ is H, straight chained or branched C₁-C₇ alkyl; or (CH₂)_nOR₁₇;

15

wherein R₁₃ is independently -(CH₂)_uOR₅; -(CH₂)_tCONR₅R₆; -(CH₂)_uNR₅COR₅; -(CH₂)_tCOR₇; -(CH₂)_tCO₂R₅; -(CH₂)_uNR₅R₆; -(CH₂)_uCN; straight chained or branched C₁-C₇ alkyl; C₁-C₇ alkyl in which the C₂-C₇ atoms may be optionally substituted with one or more F or Cl; C₃-C₇ cycloalkyl-C₁-C₇ alkyl; straight chained or branched C₂-C₇ alkenyl or alkynyl; or C₃-C₇ cycloalkyl; phenyl or C₁-C₆ phenylalkyl; wherein the phenyl or C₁-C₆ phenylalkyl may be substituted with one or more of F, Cl, -CN, -NO₂, -NR₅R₆, -SO₂R₅, - (CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, -(CH₂)_nCO₂R₅, -(CH₂)_nSO₂NR₅R₆, or straight chained or branched C₁-C₇ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

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25

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or R₁₂ and R₁₃ together with the amide linkage to which they are attached are pyrrolidinonyl, piperidonyl, or oxazolidinonyl;

5 wherein R₇ is independently straight chained or branched C₁-C₇ alkyl;

wherein R₁₆ is NR₃R₄, perfluoroalkyl, unsubstituted straight chained or branched C₁-C₇ alkyl, substituted straight chained or branched C₂-C₇ alkyl, wherein the C₂-C₇ alkyl may be substituted with one or more of F, Cl, -CN, -NR₅R₆, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, -(CH₂)_nCO₂R₅, -(CH₂)_nOCF₃, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C₂-C₇ alkenyl or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl; C₃-C₇ cycloalkyl or cycloalkenyl; or phenyl, heteroaryl, or C₁-C₇ phenylalkyl, wherein the phenyl, heteroaryl, or C₁-C₇ phenylalkyl may be substituted with one or more of F, Cl, Br, I, -CN, -NO₂, -NR₅R₆, -(CH₂)_nNR₅COR₅, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nCO₂R₅, -(CH₂)_nSO₂NR₅R₆, ethylenedioxy, methylenedioxy, straight chained or branched C₁-C₇ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C₂-C₇ alkenyl or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl; quinolinyl, 1-naphthyl, 2-naphthyl, or 2,1,3-benzothiadiazolyl; wherein the quinolinyl, 1-naphthyl, 2-naphthyl or 2,1,3-benzothiadiazolyl may be substituted with one or more of F, Cl, Br, I, -CN, -NO₂, -NR₅R₆, -(CH₂)_nNR₅COR₅, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nCO₂R₅, -(CH₂)_nSO₂NR₅R₆, ethylenedioxy, methylenedioxy, or straight chained or

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branched C₁-C₇ alkyl, perfluoroalkyl,
polyfluoroalkyl, or aminoalkyl;

wherein R₃ is independently H; - (CH₂)_nOR₅; -
5 (CH₂)_tCONR₅R₆; - (CH₂)_uNR₅COR₅; - (CH₂)_tCOR₇; -
(CH₂)_tCO₂R₅; - (CH₂)_uNR₅R₆; - (CH₂)_uCN; straight chained or
branched C₁-C₇ alkyl; straight chained or branched C₂-
10 C₇ alkenyl or alkynyl; or C₃-C₇ cycloalkyl or
cycloalkenyl; or phenyl, C₁-C₆ phenylalkyl, or C₁-C₆
heteroarylalkyl; wherein the phenyl, C₁-C₆
phenylalkyl, or C₁-C₆ heteroarylalkyl may be
substituted with one or more of F, Cl, Br, -CN,
NO₂, -NR₅R₆, -SO₂R₅, - (CH₂)_nCOR₇, - (CH₂)_nOR₅,
- (CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅, -
15 (CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇
alkyl, perfluoroalkyl, polyfluoroalkyl, or
aminoalkyl, straight chained or branched C₂-C₇ alkenyl
or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

wherein R₄ is independently H; - (CH₂)_nOR₅; -
20 (CH₂)_tCONR₅R₆; - (CH₂)_uNR₅COR₅; - (CH₂)_tCOR₇; -
(CH₂)_tCO₂R₅; - (CH₂)_uNR₅R₆; - (CH₂)_uCN; straight chained or
branched C₁-C₇ alkyl; straight chained or branched C₂-
25 C₇ alkenyl or alkynyl; or C₃-C₇ cycloalkyl or
cycloalkenyl; or phenyl or C₁-C₆ phenylalkyl; wherein
the phenyl or C₁-C₆ phenylalkyl may be substituted
with one or more of F, Cl, Br, -CN, -NO₂, -
NR₅R₆, -SO₂R₅, - (CH₂)_nCOR₇, - (CH₂)_nOR₅, -
(CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅, -
30 (CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇
alkyl, perfluoroalkyl, polyfluoroalkyl, or
aminoalkyl, straight chained or branched C₂-C₇ alkenyl
or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

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or R₃ and R₄ taken together with the nitrogen atom to which they are attached are 1-azetidinyl, 1-pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl, wherein the 1-azetidinyl, 1-pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl is substituted with one or more of F, -CN, -(CH₂)_nNR₅R₆, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, -(CH₂)_nCO₂R₅, straight chained or branched C₁-C₇ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C₂-C₇ alkenyl or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl, or phenyl or heteroaryl; wherein if -(CH₂)_nNR₅R₆, -(CH₂)_nOR₅, or -(CH₂)_nNR₅COR₅ are in the 2-position, then n is not 0; wherein the phenyl or heteroaryl may be substituted with one or more of F, Cl, Br, I, -CN, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, -(CH₂)_nCO₂R₅, -(CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C₂-C₇ alkenyl or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

or R₃ and R₄ taken together with the nitrogen atom to which they are attached are morpholinyl, thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl, piperazinyl, or [1,4]diazepanyl, wherein the morpholinyl, thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl, piperazinyl, or [1,4]diazepanyl is optionally substituted with straight chained or branched C₁-C₅ alkyl or (CH₂)_tOR₅; and wherein the nitrogen atom of the piperazinyl or [1,4]diazepanyl ring may be optionally substituted with -(CH₂)_uOR₅; -COR₅; straight chained or branched C₁-C₅ alkyl; or phenyl; wherein the phenyl may be substituted with

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one or more of F, Cl, Br, -CN, -NO₂, -NR₅R₆ - (CH₂)_nOR₅, straight chained or branched C₁-C₃ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

5 wherein R₁₇ is straight chained or branched C₁-C₄ alkyl, perfluoroalkyl, or polyfluoroalkyl;

10 wherein each p independently is an integer from 0 to 2 inclusive;

15 wherein each r independently is an integer from 0 to 3 inclusive;

wherein t is an integer from 1 to 4 inclusive;

20 wherein each u independently is an integer from 2 to 4 inclusive;

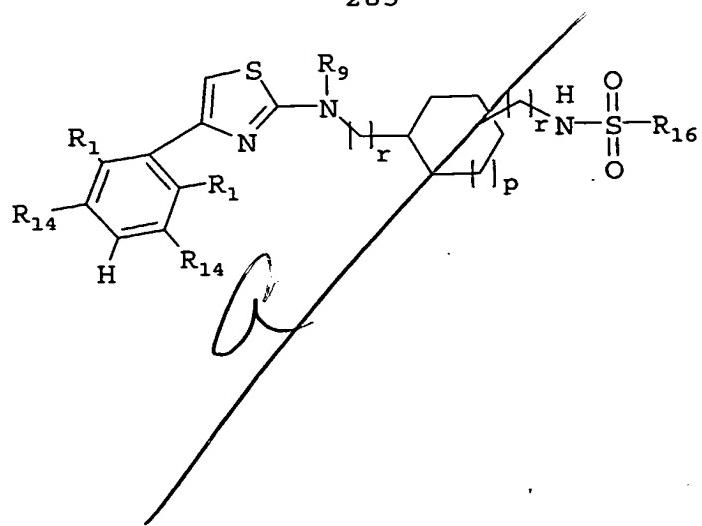
or a pharmaceutically acceptable salt thereof.

16. The compound of claim 15, wherein the compound comprises the (+) enantiomer.

25 17. The compound of claim 15, wherein the compound comprises the (-) enantiomer.

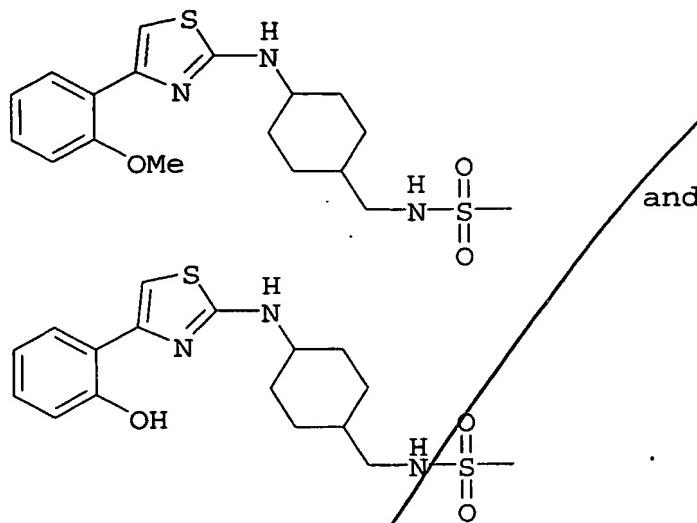
18. The compound of claim 15 having the structure:

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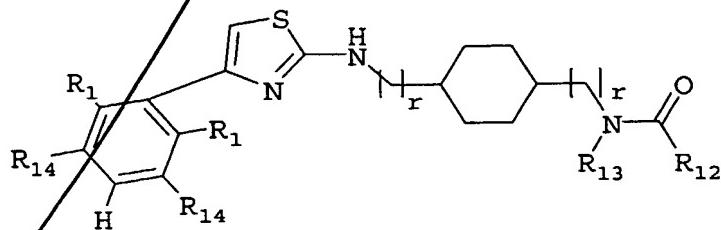
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19. The compound of claim 18 selected from the group consisting of:



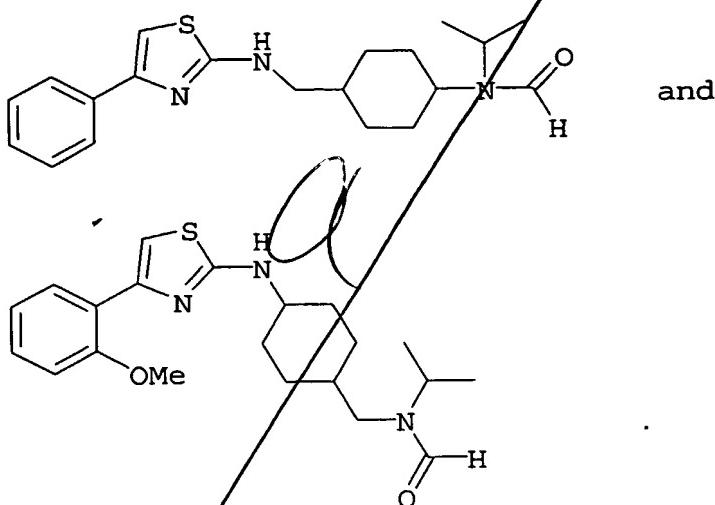
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20. The compound of claim 15 having the structure:

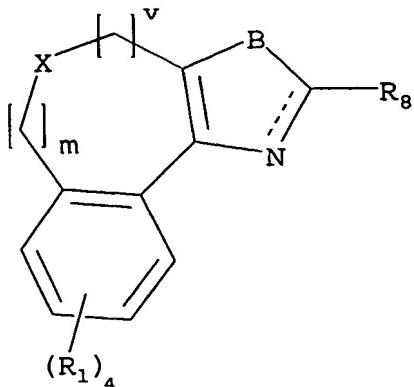


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21. The compound of claim 20 selected from the group
consisting of:



22/ A compound having the structure:



5 wherein each R_1 is independently H, F, Cl, Br, -CN, -OH, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, perfluoroalkyl, polyfluoroalkyl, aminoalkyl, or straight chained or branched C₁-C₇ alkyl;

10 wherein R_5 is independently H; or straight chained or branched C₁-C₇ alkyl;

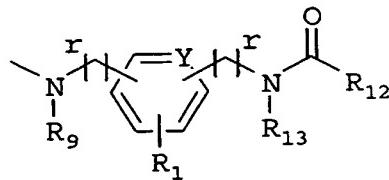
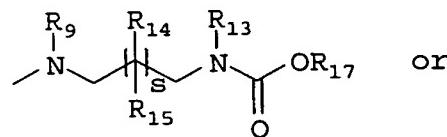
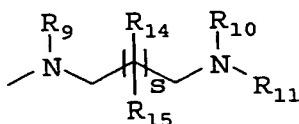
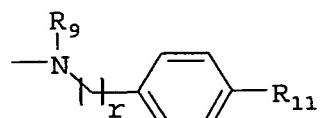
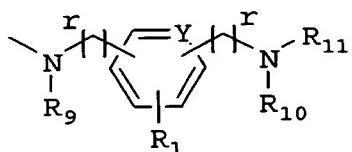
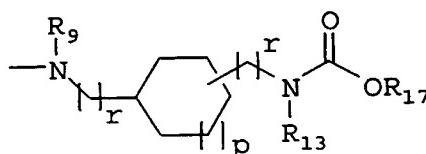
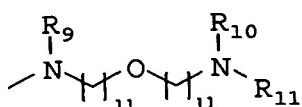
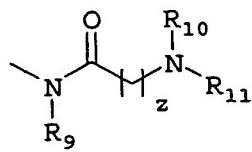
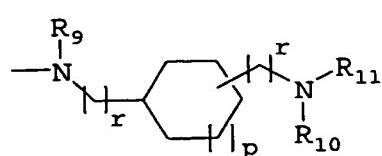
15 wherein R_6 is independently H; or straight chained or branched C₁-C₇ alkyl;

wherein B is O, NH or S;

wherein X is S, SO or SO₂;

20 wherein each n independently is an integer from 0 to 6 inclusive;

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wherein R₈ is

5

wherein Y is C or N;

10 wherein R₇ is independently straight chained or branched C₁-C₇ alkyl;

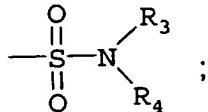
wherein R₉ is independently H; or straight chained or branched C₁-C₄ alkyl;

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wherein R_{10} is independently H; or straight chained or branched C_1-C_4 alkyl;

wherein R_{11} is

5



wherein R_{12} is H, straight chained or branched C_1-C_7 alkyl, $(CH_2)_nOR_{17}$, or $O(CH_2)_uOR_{17}$;

10

wherein R_{13} is independently H; $-(CH_2)_uOR_5$; $-(CH_2)_tCONR_5R_6$; $-(CH_2)_uNR_5COR_5$; $-(CH_2)_tCOR_7$; $-(CH_2)_tCO_2R_5$; $-(CH_2)_uNR_5R_6$; $-(CH_2)_uCN$; straight chained or branched C_1-C_7 alkyl; C_1-C_7 alkyl in which the C_2-C_7 atoms may be optionally substituted with one or more F or Cl; C_3-C_7 cycloalkyl- C_1-C_7 alkyl; straight chained or branched C_2-C_7 alkenyl or alkynyl; or C_3-C_7 cycloalkyl; phenyl or C_1-C_6 phenylalkyl; wherein the phenyl or C_1-C_6 phenylalkyl may be substituted with one or more of F, Cl, -CN, $-NO_2$, $-NR_5R_6$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-(CH_2)_nCO_2R_5$, $-(CH_2)_nSO_2NR_5R_6$, straight chained or branched C_1-C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

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or R_{12} and R_{13} together with the amide linkage to which they are attached are pyrrolidinonyl, piperidonyl, or oxazolidinonyl;

30

wherein R_{14} is H; straight chained or branched C_1-C_4 alkyl; F; or $-(CH_2)_tOR_5$;

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wherein R_{15} is H, straight chained or branched C_1-C_4 alkyl, or F;

with the proviso that when R_{14} is -OH, R_{15} cannot be F;

5

wherein R_3 is independently H; $-(CH_2)_uOR_5$; $-(CH_2)_tCONR_5R_6$; $-(CH_2)_uNR_5COR_5$; $-(CH_2)_tCOR_7$; $-(CH_2)_tCO_2R_5$; $-(CH_2)_uNR_5R_6$; $-(CH_2)_uCN$; straight chained or branched C_1-C_7 alkyl; straight chained or branched C_2-C_7 alkenyl or alkynyl; or C_3-C_7 cycloalkyl or cycloalkenyl; phenyl, C_1-C_6 phenylalkyl or C_1-C_6 heteroarylalkyl; wherein the phenyl, C_1-C_6 phenylalkyl, or C_1-C_6 heteroarylalkyl may be substituted with one or more of F, Cl, Br, -CN, $-NO_2$, $-NR_5R_6$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-(CH_2)_nCO_2R_5$, $(CH_2)_nSO_2NR_5R_6$, straight chained or branched C_1-C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2-C_7 alkenyl or alkynyl, or C_3-C_7 cycloalkyl or cycloalkenyl;

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wherein R_4 is independently H; $-(CH_2)_uOR_5$; $-(CH_2)_tCONR_5R_6$; $-(CH_2)_uNR_5COR_5$; $-(CH_2)_tCOR_7$; $-(CH_2)_tCO_2R_5$; $-(CH_2)_uNR_5R_6$; $-(CH_2)_uCN$; straight chained or branched C_1-C_7 alkyl; straight chained or branched C_2-C_7 alkenyl or alkynyl; or C_3-C_7 cycloalkyl or cycloalkenyl; phenyl or C_1-C_6 phenylalkyl; wherein the phenyl or C_1-C_6 phenylalkyl may be substituted with one or more of F, Cl, Br, -CN, $-NO_2$, $-NR_5R_6$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-(CH_2)_nCO_2R_5$, $(CH_2)_nSO_2NR_5R_6$, straight chained or branched C_1-C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or

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aminoalkyl, straight chained or branched C₂-C₇, alkenyl or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

5 or R₃ and R₄ taken together with the nitrogen atom to which they are attached are 1-azetidinyl, 1-pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl, wherein the 1-azetidinyl, 1-pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl is substituted with one or more of F, -CN, -(CH₂)_nNR₅R₆, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, -(CH₂)_nCO₂R₅, straight chained or branched C₁-C₇ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C₂-C₇ alkenyl or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl, or phenyl or heteroaryl; wherein if -(CH₂)_nNR₅R₆, -(CH₂)_nOR₅, or -(CH₂)_nNR₅COR₅ are in the 2-position, then n is not 0; wherein the phenyl or heteroaryl may be substituted with one or more of F, Cl, Br, -CN, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, -(CH₂)_nCO₂R₅, -(CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C₂-C₇ alkenyl or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

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15

20

25

or R₃ and R₄ taken together with the nitrogen atom to which they are attached are morpholinyl, thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl, piperazinyl, or [1,4]diazepanyl, wherein the morpholinyl, thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl, piperazinyl, or [1,4]diazepanyl is optionally substituted with straight chained or branched C₁-C₅ alkyl or (CH₂)_tOR₅; and wherein the

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nitrogen atom of the piperazinyl or [1,4]diazepanyl ring may be optionally substituted with -(CH₂)_nOR₅; -COR₅; -CO₂R₅; straight chained or branched C₁-C₅ alkyl; or phenyl; wherein the phenyl may be substituted with one or more of F, Cl, Br, -CN, -NO₂, -NR₅R₆ -(CH₂)_nOR₅, straight chained or branched C₁-C₃ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

10 wherein R₁₇ is straight chained or branched C₁-C₄ alkyl, perfluoroalkyl, or polyfluoroalkyl;

wherein m is 0 or 1;

15 wherein each p independently is an integer from 0 to 2 inclusive;

wherein each r independently is an integer from 0 to 3 inclusive;

20 wherein each s independently is an integer from 1 to 6 inclusive;

wherein t is an integer from 1 to 4 inclusive;

25 wherein each u independently is an integer from 2 to 4 inclusive;

wherein v is 1 or 2;

30 with the proviso that when v is 2, m is 0;

wherein z is an integer from 2 to 7;

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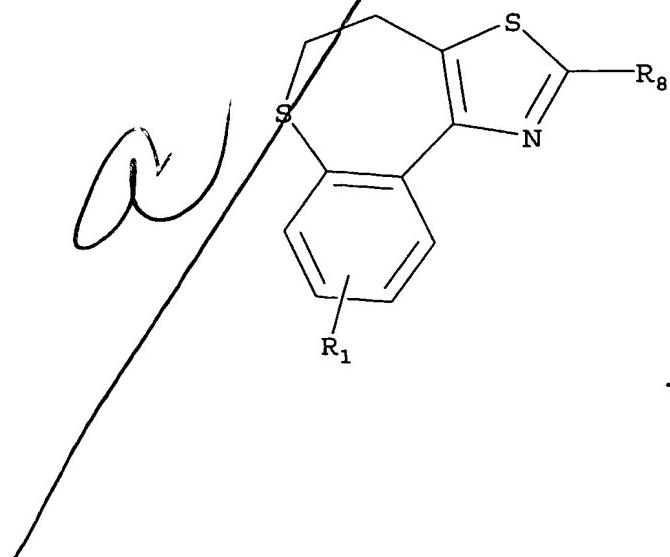
or a pharmaceutically acceptable salt thereof.

23. The compound of claim 22, wherein the compound comprises the (+) enantiomer.

5

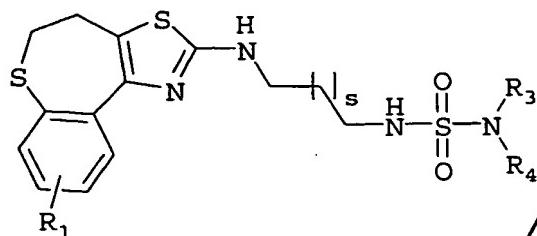
24. The compound of claim 22, wherein the compound comprises the (-) enantiomer.

25. The compound of claim 22 having the structure:



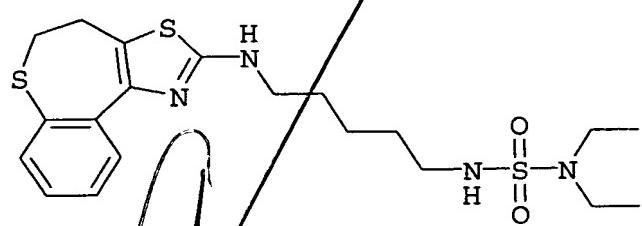
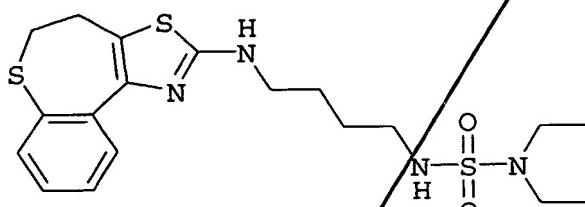
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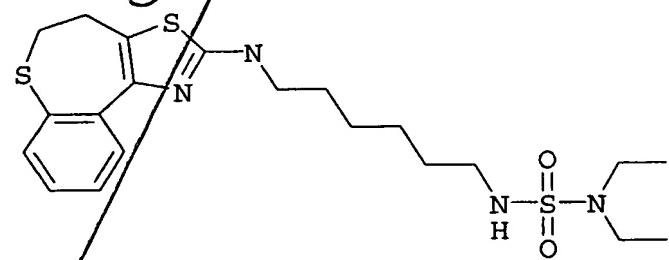
26. The compound of claim 25 having the structure:

27. The compound of claim 26 having the structure:

5

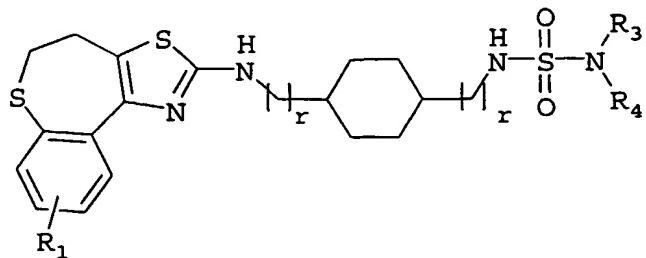


or



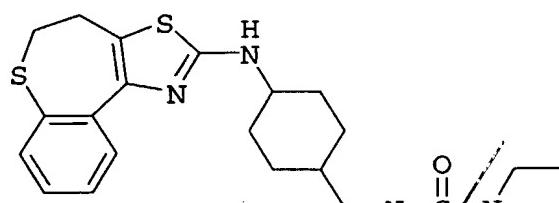
294

28. The compound of claim 25 having the structure:

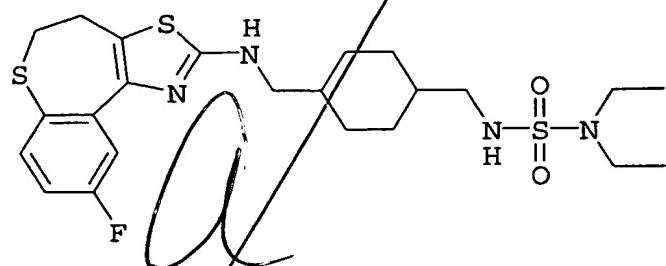


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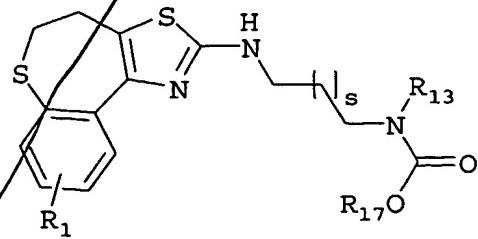
29. The compound of claim 28 having the structure:



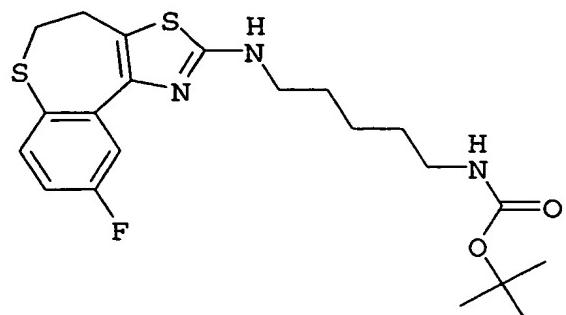
or



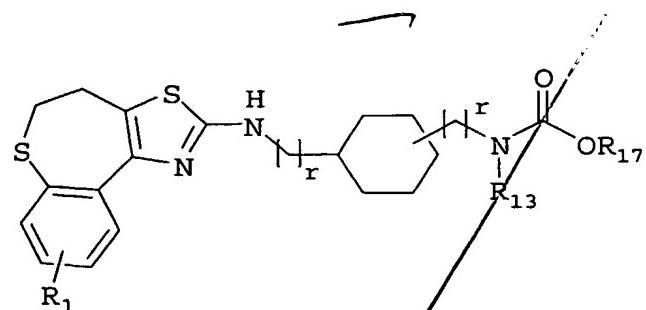
30. The compound of claim 25 having the structure:



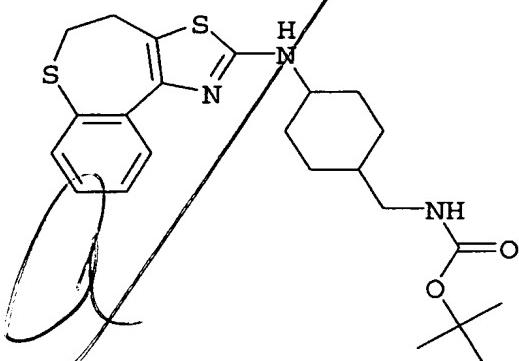
295
31. The compound of claim 30 having the structure:



5 32. The compound of claim 25 having the structure:



33. The compound of claim 32 having the structure:



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34. A pharmaceutical composition comprising a therapeutically effective amount of the compound of claim 1, 15, or 22 and a pharmaceutically acceptable carrier.

5

35. A pharmaceutical composition of claim 34, wherein the amount of the compound is an amount from about 0.01 mg to about 800 mg.

10

36. A pharmaceutical composition of claim 35, wherein the amount of the compound is an amount from about 0.01 mg to about 500 mg.

15

37. A pharmaceutical composition of claim 36, wherein the amount of the compound is an amount from about 0.01 mg to about 250 mg.

20

38. A pharmaceutical composition of claim 37, wherein the amount of the compound is an amount from about 0.1 mg to about 60 mg.

25

39. A pharmaceutical composition of claim 38, wherein the amount of the compound is an amount from about 1 mg to about 20 mg.

40. The pharmaceutical composition of claim 34, wherein the carrier is a liquid and the composition is a solution.

30

41. The pharmaceutical composition of claim 34, wherein the carrier is a solid and the composition is a tablet.

297
42. The pharmaceutical composition of claim 34,
wherein the carrier is ~~a gel~~ and the composition is a
suppository.

5 43. A pharmaceutical composition made by combining a
therapeutically effective amount of the compound of
claim 1, 15, or 22 and a pharmaceutically acceptable
carrier.

10 44. A process for making a pharmaceutical composition
comprising combining a therapeutically effective
amount of the compound of claim 1, ~~15~~, or 22 and a
pharmaceutically acceptable carrier.

15 45. Use of the chemical compound of claim 1, ~~15~~, or 22
for the preparation of a pharmaceutical composition
for treating an abnormality, wherein the abnormality
is alleviated by decreasing the activity of a human
Y5 receptor.

20 46. Use of the compound of claim ~~45~~, wherein the
abnormality is an eating disorder, obesity, bulimia
nervosa, a sexual disorder, a reproductive disorder,
depression, an epileptic seizure, hypertension,
25 cerebral hemorrhage, congestive heart failure, or a
sleep disturbance.